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(FILE 'HOME' ENTERED AT 14:32:32 ON 12 JUN 2001)

FILE 'STNGUIDE' ENTERED AT 14:33:00 ON 12 JUN 2001

FILE 'REGISTRY' ENTERED AT 14:33:59 ON 12 JUN 2001

L1	SCREEN 1821 OR 1822 OR 1823 OR 1824
L2	STRUCTURE UPLOADED
L3	QUE L2 AND L1 AND L1
L4	1 S L3
L5	33 S L3 SSS FUL

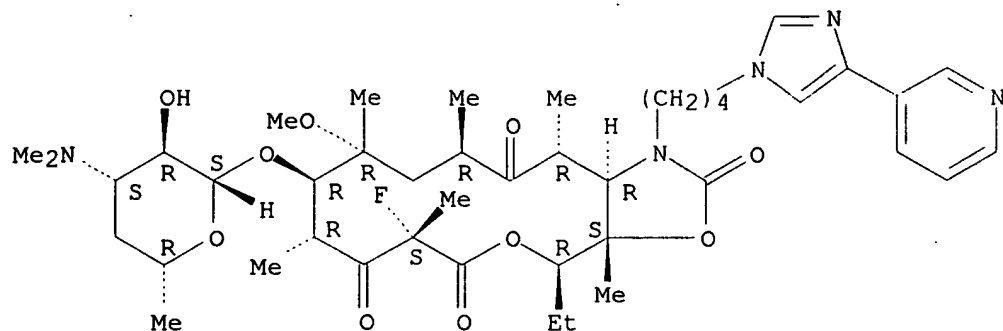
FILE 'CAPLUS' ENTERED AT 14:34:59 ON 12 JUN 2001

L6	12 S L5
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L6 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2001 ACS
 AN 2001:75624 CAPLUS
 DN 134:292622
 TI Structure-activity relationships for six ketolide antibiotics
 AU Champney, W. Scott; Tober, Craig L.
 CS Department of Biochemistry and Molecular Biology, J.H. Quillen College of
 Medicine, East Tennessee State University, Johnson City, TN, 37614, USA
 SO Curr. Microbiol. (2001), 42(3), 203-210
 CODEN: CUMIDD; ISSN: 0343-8651
 PB Springer-Verlag New York Inc.
 DT Journal
 LA English
 AB Six structurally related 3-keto-substituted macrolide antibiotics
 (ketolides) were compared for concn.-dependent inhibitory effects on
 growth rate, viable cell no., and protein synthesis rates in
 Staphylococcus aureus cells. Inhibitory effects on 50S ribosomal subunit
 formation were also examd., as this is a second target for these
 antibiotics. A concn. range of 0.01 to 0.1 .mu.g/mL was tested. An IC50
 for inhibition of translation and 50S synthesis was measured for each
 compd., to relate structural features to inhibitory activity. ABT-773
 was the most effective of the six compds. tested with an IC50 = 0.035
 .mu.g/mL. HMR 3004 was almost as effective with an IC50 = 0.05 .mu.g/mL.
 Two 2-fluoroketolides (HMR 3562 and HMR 3787) were equiv. in their
 inhibitory activity with an IC50 = 0.06 .mu.g/mL. Telithromycin (HMR
 3647) had an IC50 = 0.08 .mu.g/mL, and HMR 3832 was least effective with
 an IC50 = 0.11 .mu.g/mL. Each antibiotic had an equiv. inhibitory effect
 on translation and 50S subunit formation. These results indicate
 specific structural features of these antimicrobial agents, which contribute to
 defined inhibitory activities against susceptible organisms.
 IT 193752-41-9, HMR 3562 334778-44-8, HMR 3787
 RL: BAC (Biological activity or effector, except adverse); PRP
 (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (structure-activity relationships for six ketolide antibiotics)
 RN 193752-41-9 CAPLUS
 CN 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
 4-ethyl-7-fluorooctahydro-11-methoxy-3a,7,9,11,13,15-hexamethyl-1-[4-{4-(3-
 pyridinyl)-1H-imidazol-1-yl}butyl]-10-[[3,4,6-trideoxy-3-(dimethylamino)-
 .beta.-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7S,9R,10R,11R,13R,15R,15aR)-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

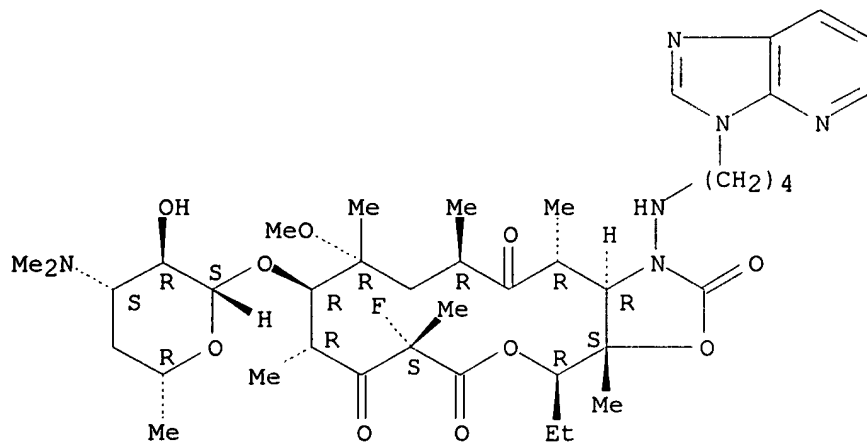


RN 334778-44-8 CAPLUS

CN 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-1-[[4-(3H-imidazo[4,5-b]pyridin-3-

yl)butyl]amino]-11-methoxy-3a,7,9,11,13,15-hexaamethyl-10-[[3,4,6-trideoxy-
3-(dimethylamino)-.beta.-D-xylo-hexopyranosyl]oxy]-,
(3aS,4R,7S,9R,10R,11R,13R,15R,15aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 50

RE

- (2) Baquero, F; J Antimicrob Chemother 1997, V39, P1 CAPLUS
 - (5) Bonnefoy, A; J Antimicrob Chemother 1997, V40, P85 CAPLUS
 - (6) Brueggemann, A; Antimicrob Agents Chemother 2000, V44, P447 CAPLUS
 - (7) Bryskier, A; Expanding indications for the new macrolides, azalides and streptogramins 1997, P39 CAPLUS
 - (10) Champney, W; Antimicrob Agents Chemother 1996, V40, P1301 CAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT